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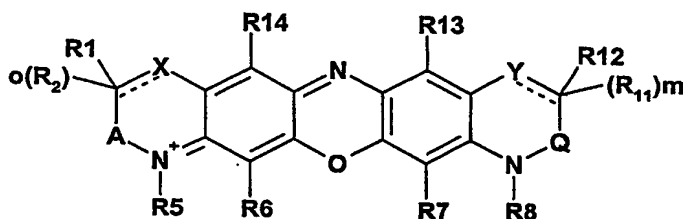
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(54) Title: 3H-PHENOXAZINE DERIVATIVES SUITABLE AS NEAR-INFRARED IMAGING AGENTS, PREPARATION AND USE THEREOF



(I)

(57) Abstract: The present invention provides compounds of formula (I) wherein X and Y represent CH, CH₂ or a divalent or trivalent heteroatom under the proviso that X and Y are not simultaneously CH or CH₂; m and o represent independently of each other 0 or 1, with the proviso that if m is 0 then the dotted line between Y and the neighboring C atom represents a bond and Y is CH or a trivalent heteroatom, if m is 1 then the dotted line between Y and the neighboring C atom is absent and Y is CH₂ or a divalent heteroatom, if o is 0 then the dotted line between X and the neighboring C atom represents a bond and

X is CH or a trivalent heteroatom, if o is 1 then the dotted line between X and the neighboring C atom is absent and X is CH₂ or a divalent heteroatom; A represents (CH₃CR₄)_p and Q represents (CR₉R₁₀)_n; n and p represent independently of each other 0 or 1; R₆, R₇, R₁₃ and R₁₄ denote independently of each other hydrogen, halogen, (C₁₋₄)alkyl, (C₁₋₄)alkylSO₂, SO₃H, carboxy, (C₁₋₄)alkoxy carbonyl, (C₁₋₄)alkoxy, OH or NR₁₅R₁₆; R₁, R₂, R₃, R₄, R₉, R₁₀, R₁₁ and R₁₂ denote independently of each other hydrogen, (C₁₋₄)alkyl, carboxy, (C₁₋₄)alkoxy carbonyl or (C₁₋₄)alkoxy, or, when X is CH or CH₂ then R₁ and R₂ can also be OH or NR₁₅R₁₆, or when Y is CH or CH₂ then R₁₁, R₁₂ can also be OH or NR₁₅R₁₆; R₅, R₈, R₁₅ and R₁₆ are independently of each other hydrogen, (C₁₋₄)alkyl, (C₁₋₄)alkoxy, R₁₇O-C(O)-(C₁₋₄)alkyl or (reactive group)-(C₁₋₄)alkyl; and R₁₇ represents hydrogen or (C₁₋₄)alkyl; compositions comprising such compounds, a process for the production of such compounds of formula (I), a method of labeling target structures, especially amyloid plaques, in the brain, a method for identifying Alzheimer's disease, use of a compound of formula I as a near-infrared imaging agent and a conjugate comprising a compound of formula (I) covalently linked to a biomolecule through a reactive group.



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